10519645proviso

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:120833 CAPLUS
DOCUMENT NUMBER: 140:175177
TITLE: Methods using 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation
INVENTOR(S): Sapronov, Nikolay Sergeevich; Piotrovsky, Levon Borisovich; Gavrovskaya, Luidmila Konstantinovna
PATENT ASSIGNEE(S): Biodiem Limited, Australia
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013108	A1	20040212	WO 2003-AU972	20030731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494408	A1	20040212	CA 2003-2494408	20030731
AU 2003281848	A1	20040223	AU 2003-281848	20030731
EP 1539707	A1	20050615	EP 2003-739880	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006135587	A1	20060622	US 2005-519645	20050922
PRIORITY APPLN. INFO.:			RU 2002-120366	A 20020801
			WO 2003-AU972	W 20030731

OTHER SOURCE(S): MARPAT 140:175177

AB The invention discloses methods for promoting healing and reducing inflammation, and compns. therefore. In particular, the invention relates to the use of 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts to promote wound healing and to reduce inflammation. Novel compds. and compns. are also provided. In one preferred embodiment, the invention provides a method of treatment of myocardial infarction.

IT 657349-36-5P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)

RN 657349-36-5 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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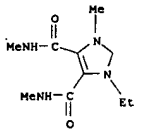

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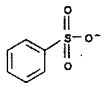

Karen Cheng

10519645proviso

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
RN 657349-36-5 REGISTRY
ED Entered STN: 03 Mar 2004
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)
MF C10 H17 N4 O2 . C6 H5 O3 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

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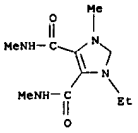

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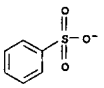

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Karen Cheng

10519645proviso

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:120833 CAPLUS
DOCUMENT NUMBER: 140:175177
TITLE: Methods using 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation
INVENTOR(S): Sapronov, Nikolay Sergeevich; Piotrovsky, Levon Borisovich; Gavrovskaya, Luidmila Konstantinovna
PATENT ASSIGNEE(S): Biodiem Limited, Australia
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CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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WO 2004013108	A1	20040212	WO 2003-AU972	20030731
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AU 2003281848	A1	20040223	AU 2003-281848	20030731
EP 1539707	A1	20050615	EP 2003-739880	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006135587	A1	20060622	US 2005-519645	20050922
PRIORITY APPLN. INFO.:			RU 2002-120366 A	20020801
			WO 2003-AU972 W	20030731

OTHER SOURCE(S): MARPAT 140:175177
AB The invention discloses methods for promoting healing and reducing inflammation, and compns. therefore. In particular, the invention relates to the use of 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts to promote wound healing and to reduce inflammation. Novel compds. and compns. are also provided. In one preferred embodiment, the invention provides a method of treatment of myocardial infarction.
IT 657349-34-3P 657349-36-5P 657349-38-7P
657349-39-8P 657349-42-3P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 657349-34-3 CAPLUS
CN 1H-Imidazolium, 1,3-dimethyl-4,5-bis[(methylamino)carbonyl]-,

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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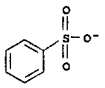

RN 657349-38-7 CAPLUS
CN 1H-Imidazolium, 1,3-diethyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

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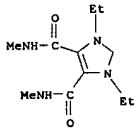

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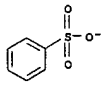

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CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzoate (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
benzenesulfonate (9CI) (CA INDEX NAME)

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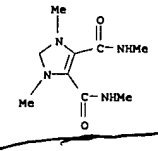

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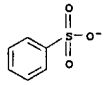

RN 657349-36-5 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

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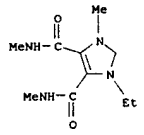

proviso out cmpd

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

CRN 657349-35-4
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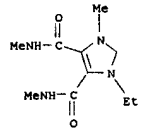

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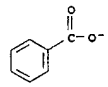

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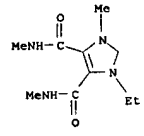

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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
IT 657349-40-1P 657349-41-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

Karen Cheng

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 657349-40-1 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, salt with 2-hydroxybenzoic acid (1:1) (9CI) (CA INDEX NAME)

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CRN 657349-35-4
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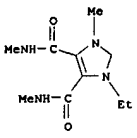

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 63-36-5
CMF C7 H5 O3

RN 657349-41-2 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, salt with 2,5-dihydroxybenzoic acid (1:1) (9CI) (CA INDEX NAME)

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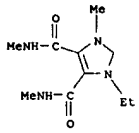
CRN 657349-35-4
CMF C10 H17 N4 O2

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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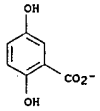

11205956

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:120833 CAPLUS
DOCUMENT NUMBER: 140:175177
TITLE: Methods using 1,3-dialkyl-4,5-bis(N-methylcarbamoyl) imidazolium salts for promoting healing and reducing inflammation
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PATENT ASSIGNEE(S): Biodiem Limited, Australia
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003281848	A1	20040223	AU 2003-281848	20030731
EP 1539707	A1	20050615	EP 2003-739880	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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PRIORITY APPLN. INFO.:			RU 2002-120366 A	20020801
			WO 2003-AU972 W	20030731

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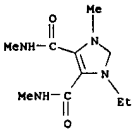
IT 657349-34-3P 657349-36-5P 657349-38-7P
657349-39-8P 657349-42-3P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 657349-34-3 CAPLUS

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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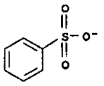

RN 657349-38-7 CAPLUS
CN 1H-Imidazolium, 1,3-diethyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-37-6
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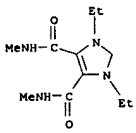

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 3198-32-1
CMF C6 H5 O3 S

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Imidazolium, 1,3-dimethyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

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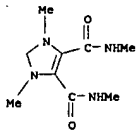

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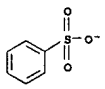

RN 657349-36-5 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

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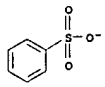
CMF C10 H17 N4 O2

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 657349-39-8 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzoate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-35-4
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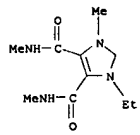
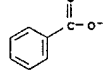


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 766-76-7
CMF C7 H5 O2



RN 657349-42-3 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, chloride (9CI) (CA INDEX NAME)

Karen Cheng

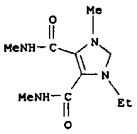
11205956

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:120833 CAPLUS
DOCUMENT NUMBER: 140:175177
TITLE: Methods using 1,3-dialkyl -4,5-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation
INVENTOR(S): Sapronov, Nikolay Sergeevich; Piotrovsky, Levon Borisovich; Gavrovskaya, Luidmila Konstantinovna
PATENT ASSIGNEE(S): Biodiem Limited, Australia
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013108	A1	20040212	WO 2003-AU972	20030731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494408	A1	20040212	CA 2003-2494408	20030731
AU 2003281848	A1	20040223	AU 2003-281848	20030731
EP 1539707	A1	20050615	EP 2003-739880	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006135587	A1	20060622	US 2005-519645	20050922
PRIORITY APPLN. INFO.:			RU 2002-120366 A	20020801
			WO 2003-AU972 W	20030731

OTHER SOURCE(S): MARPAT 140:175177
AB The invention discloses methods for promoting healing and reducing inflammation, and compns. therefore. In particular, the invention relates to the use of 1,3-dialkyl -4,5-bis(N-methylcarbamoyl)imidazolium salts to promote wound healing and to reduce inflammation. Novel compds. and compns. are also provided. In one preferred embodiment, the invention provides a method of treatment of myocardial infarction.
IT 657349-34-3P 657349-36-5P 657349-38-7P
657349-39-8P 657349-42-3P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 657349-34-3 CAPLUS

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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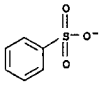
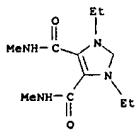


RN 657349-38-7 CAPLUS
CN 1H-Imidazolium, 1,3-diethyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-37-6
CMF C11 H19 N4 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

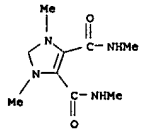
CM 2

CRN 3198-32-1
CMF C6 H5 O3 S

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Imidazolium, 1,3-dimethyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-33-2
CMF C9 H15 N4 O2



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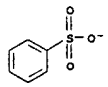
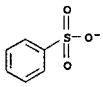


RN 657349-36-5 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-35-4
CMF C10 H17 N4 O2

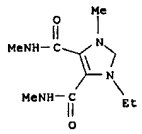
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 657349-39-8 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzoate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-35-4
CMF C10 H17 N4 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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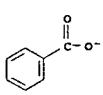

RN 657349-42-3 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, chloride (9CI) (CA INDEX NAME)

Karen Cheng

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:120833 CAPLUS
DOCUMENT NUMBER: 140:175177
TITLE: Methods using 1,3-dialkyl-4,5-bis(N-methylcarbamoyl) imidazolium salts for promoting healing and reducing inflammation
INVENTOR(S): Sapronov, Nikolay Sergeevich; Piotrovsky, Levon Borisovich; Gavrovskaya, Luidmila Konstantinovna
PATENT ASSIGNEE(S): Biodiem Limited, Australia
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013108	A1	20040212	WO 2003-AU972	20030731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494408	A1	20040212	CA 2003-2494408	20030731
AU 2003281848	A1	20040223	AU 2003-281848	20030731
EP 1539707	A1	20050615	EP 2003-739880	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006135587	A1	20060622	US 2005-519645	20050922
PRIORITY APPLN. INFO.:			RU 2002-120366 A	20020801
			WO 2003-AU972 W	20030731

OTHER SOURCE(S): MARPAT 140:175177
AB The invention discloses methods for promoting healing and reducing inflammation, and compns. therefore. In particular, the invention relates to the use of 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts to promote wound healing and to reduce inflammation. Novel compds. and compns. are also provided. In one preferred embodiment, the invention provides a method of treatment of myocardial infarction.
IT 657349-34-3P 657349-36-5P 657349-38-7P
657349-39-8P 657349-42-3P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 657349-34-3 CAPLUS
CN 1H-Imidazolium, 1,3-dimethyl-4,5-bis[(methylamino)carbonyl]-,

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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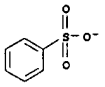
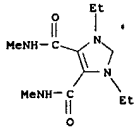


RN 657349-38-7 CAPLUS
CN 1H-Imidazolium, 1,3-diethyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-37-6
CMF C11 H19 N4 O2



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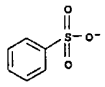


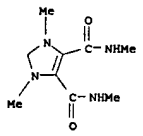
RN 657349-39-8 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzoate
(9CI) (CA INDEX NAME)

Karen Cheng

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-33-2
CMF C9 H15 N4 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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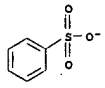

RN 657349-36-5 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-35-4
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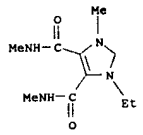
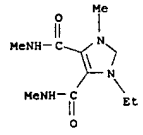


L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

CRN 657349-35-4
CMF C10 H17 N4 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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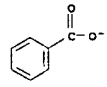
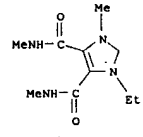


RN 657349-42-3 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, chloride
(9CI) (CA INDEX NAME)



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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
IT 657349-40-1P 657349-41-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

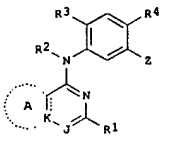
L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:409524 CAPLUS
DOCUMENT NUMBER: 142:463438
TITLE: Preparation of phenylamine substituted bicyclic heterocyclic compounds useful as kinase inhibitors
INVENTOR(S): Das, Jagabandhu; Hynes, John; Leftheris, Katerina; Lin, Shuqun; Wrobleski, Stephen T.; Wu, Hong
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042537	A1	20050512	WO 2004-US35116	20041022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005143398	A1	20050630	US 2004-970420	20041021
PRIORITY APPLN. INFO.:			US 2003-513285P P	20031022

OTHER SOURCE(S): MARPAT 142:463438
GI

L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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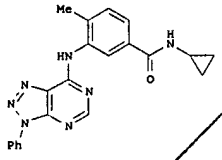


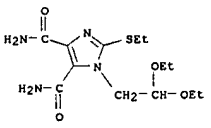
II

AB Title compds. I [J = N or CR5; R1 and R5 independently = H, OH, halo, CN, etc.; R2 = H or alkyl; R3 and R4 independently = H, (un)substituted-alkyl, OH, MeO, halo, etc.; K = N or C; Z = NHR6, CONR6R7, NR6CO2R7, etc.; R6 = H or (un)substituted alkyl; R7 = H, OH, alkoxy, etc.; Ring A = fused heterocycle or carbocycle], and their pharmaceutically acceptable salts, prodrugs, and solvates thereof, are prepared and disclosed as kinase inhibitors. Thus, e.g., II was prepared by reaction of 4-chloro-1-phenyl-1,2,3,5,7-azaindene with 3-amino-4-methyl-N-cyclopropylbenzamide. I have shown activity as inhibitors of p38α/β enzymes and TNF-α (no data).

IT 851772-95-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylamine substituted bicyclic heterocyclic compound as kinase inhibitors)

RN 851772-95-7 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-(2,2-diethoxyethyl)-2-(ethylthio)-(9CI)
(CA INDEX NAME)

L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

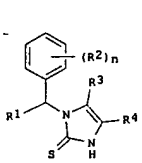
L9 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:675729 CAPLUS
DOCUMENT NUMBER: 141:207206
TITLE: Preparation of mercaptoimidazoles as CCR2 receptor antagonists for the treatment of inflammatory disease
INVENTOR(S): Van Lommen, Guy Rosalia Eugeen; Doyon, Julien Georges Pierre-Olivier; Van Wauwe, Jean Pierre Frans; Cools, Marina Lucie Louise; Coesemans, Erwin
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

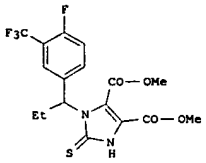
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069809	A1	20040819	WO 2003-EP1038	20030203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003215549	A1	20040830	AU 2003-215549	20030203
AU 2004210071	A1	20040819	AU 2004-210071	20040130
CA 2513109	A1	20040819	CA 2004-2513109	20040130
WO 2004069810	A1	20040819	WO 2004-EP957	20040130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1592670	A1	20051109	EP 2004-706674	20040130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1745069	A	20060308	CN 2004-80003283	20040130
JP 2006516589	T	20060706	JP 2006-501712	20040130
US 2006058289	A1	20060316	US 2005-544569	20050803
PRIORITY APPLN. INFO.:			WO 2003-EP1038 A	20030203
			WO 2003-EP301038 A	20030203
			WO 2004-EP957 A	20040130

OTHER SOURCE(S): MARPAT 141:207206
GI

L9 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

AB The invention relates to mercaptoimidazoles of formula I, N-oxides, pharmaceutically acceptable addition salts, quaternary amines and stereochem. isomeric forms thereof, wherein R1 is H, (cyclo)alkyl, (hetero)aryl; R2 is halo, alkyl(oxy/thio), polyhaloalkyl(oxy), cyano, aminocarbonyl, (di)(alkyl)amino, nitro, aryl(oxy); R3 and R4 are H, cyano, (hydroxy)alkyl, C(O)OR5, C(O)NR6aR6b, S(O)2NR6aR6b, C(O)R7; R5 is a defined carbon or N-heterocyclic ester group; R6a, R6b is H, alkyl, (di)(alkyl)amino(alkyl), arylamino; or NR6aR6b is a N-heterocycle; R7 is H, alk(en/yn)yl, aryl, certain substituted alkyls; n is 1-5, etc., with some limitations. The compds. have been synthesized as CCR2 receptor antagonists and found useful for the treatment and prevention of diseases, such as inflammation, which are mediated through activation of the CCR2 receptor, particularly CCR2B receptor. The invention also relates to processes for preparing the compds. and pharmaceutical compns. comprising them. Thus, compound II was prepared from 1-[4-fluoro-3-(trifluoromethyl)phenyl]-1-propanone via oxime formation, reduction, N-alkylation with Me bromoacetate, formylation and finally cyclocondensation with (CO2Me)2 and KSCN. The synthesized compds. showed inhibition of MCP-1 induced Ca-flux in human THP-1 cells with pIC50 5.6-8.2 (pIC50 = -log IC50).

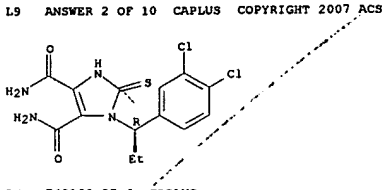
IT 742108-15-2P 742108-27-6P 742108-28-7P
742108-40-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(receptor antagonist; preparation of mercaptoimidazoles as CCR2 receptor
antagonists for the treatment of inflammatory disease)

RN 742108-15-2 CAPLUS

CN 1H-Imidazole-4,5-dicarboxamide, 1-[(1R)-1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)

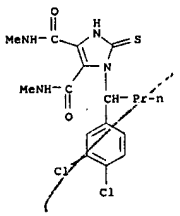
Absolute stereochemistry.

L9 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



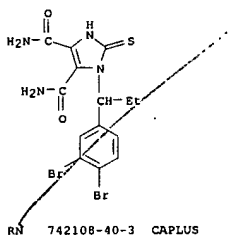
RN 742108-27-6 CAPLUS

CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)butyl]-2,3-dihydro-N,N'-dimethyl-2-thioxo- (9CI) (CA INDEX NAME)



RN 742108-28-7 CAPLUS

CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dibromophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)

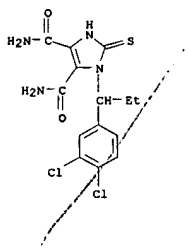


RN 742108-40-3 CAPLUS

current app

L9 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:120833 CAPLUS

DOCUMENT NUMBER: 140:175177

TITLE: Methods using 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation

INVENTOR(S): Sapronov, Nikolay Sergeevich; Piotrovsky, Levon Borisovich; Gavrovskaya, Luidmila Konstantinovna

PATENT ASSIGNEE(S): Biodiem Limited, Australia

SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013108	A1	20040212	WO 2003-AU972	20030731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494408	A1	20040212	CA 2003-2494408	20030731
AU 2003281848	A1	20040223	AU 2003-281848	20030731
EP 1539707	A1	20050615	EP 2003-739880	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006135587	A1	20060622	US 2005-519645	20050922
PRIORITY APPLN. INFO.:			RU 2002-120366	A 20020801
			WO 2003-AU972	W 20030731

OTHER SOURCE(S): MARPAT 140:175177

AB The invention discloses methods for promoting healing and reducing inflammation, and compns. therefore. In particular, the invention relates to the use of 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts to promote wound healing and to reduce inflammation. Novel compds. and compns. are also provided. In one preferred embodiment, the invention provides a method of treatment of myocardial infarction.

IT 657349-34-3P 657349-36-5P 657349-38-7P
657349-39-8P 657349-42-3P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)

RN 657349-34-3 CAPLUS

CN 1H-Imidazolium, 1,3-dimethyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

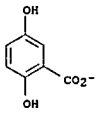
CM 1

L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

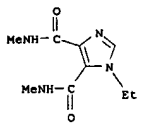
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

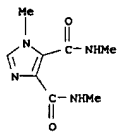
CRN 490-80-2
CMF C7 H5 O4



IT 64-99-3 880-90-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(dialkyl-bis(N-methylcarbamoyl]imidazolium salts for promoting healing and reducing inflammation)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)



RN 880-90-0 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, N,N',1-trimethyl- (9CI) (CA INDEX NAME)



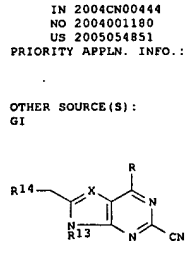
L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:202639 CAPLUS
DOCUMENT NUMBER: 138:221601
TITLE: Preparation of pyrrolopyrimidinecarbonitriles as inhibitors of cathepsin K
INVENTOR(S): Betschart, Claudia; Hayakawa, Kenji; Irie, Osamu; Sakaki, Junichi; Iwasaki, Genji; Lattmann, Rene; Missbach, Martin; Teno, Naoki
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020721	A1	20030313	WO 2002-EP9663	20020829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2458684	A1	20030313	CA 2002-2458684	20020829
EP 1423391	A1	20040602	EP 2002-797553	20020829
EP 1423391	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012226	A	20040817	BR 2002-12226	20020829
CN 1549817	A	20041124	CN 2002-816840	20020829
JP 2005502683	T	20050127	JP 2003-524991	20020829
NZ 531343	A	20060127	NZ 2002-531343	20020829
AT 326469	T	20060615	AT 2002-797553	20020829
PT 1423391	T	20060929	PT 2002-797553	20020829
ZA 2004001042	A	20041025	ZA 2004-1042	20040209
IN 2004CN00444	A	20051223	IN 2004-CN444	20040301
NO 2004001180	A	20040319	NO 2004-1180	20040319
US 2005054851	A1	20050310	US 2004-487760	20041014

PRIORITY APPLN. INFO.: GB 2001-21033 A 20010830
WO 2002-EP9663 W 20020829

OTHER SOURCE(S): MARPAT 138:221601
GI



I

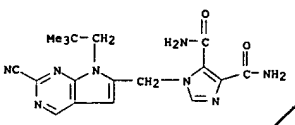
AB The invention provides pyrrolopyrimidinecarbonitriles and purinecarbonitriles (shown as I; variables defined below; e.g.

L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

7-(2,2-dimethylpropyl)-6-[[4-(p-tolyl)piperazin-1-yl]methyl]-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile) or a pharmaceutically acceptable salt or ester thereof, which are inhibitors of cathepsin K and find use pharmaceutically for treatment of diseases and medical conditions in which cathepsin K is implicated, e.g. various disorders including inflammation, rheumatoid arthritis, osteoarthritis, osteoporosis and tumors. 7-(2,2-Dimethylpropyl)-6-[[4-(p-tolyl)piperazin-1-yl]methyl]-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile and 7-(2,2-dimethylpropyl)-6-[(2,4-dioxo-1,3,8-triazaspiro[4.5]dec-8-yl)methyl]-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile have IC50s for inhibition of human cathepsin K of 1 nM and 0.6 nM resp. For I: R is H, -R2, -OR2 or NR1R2 (R1 is H, lower alkyl or C3-C10 cycloalkyl; R2 is lower alkyl or C3-C10 cycloalkyl). X is :N- or :C(Z)- (Z is H, -C(O)-NR3R4, -NH-C(O)-R3, -CH2-NH-C(O)-R3, -C(O)-R3, -S(O)-R3, -S(O)2-R3, -CH2-C(O)-R3, -CH2-NR3R4, -R4, -C.tplbond.C-CH2-R5, N-heterocyclyl, N-heterocyclylcarbonyl, or -C(P):C(Q)-R4 (P and Q independently are H, lower alkyl or aryl; R3 is aryl, aryl-lower alkyl, C3-C10cycloalkyl, C3-C10cycloalkyl-lower alkyl, heterocyclyl or heterocyclyl-lower alkyl; R4 is H, aryl, aryl-lower alkyl, aryl-lower-alkenyl, C3-C10cycloalkyl, C3-C10cycloalkyl-lower alkyl, heterocyclyl or heterocyclyl-lower alkyl, or wherein R3 and R4 together with the N atom to which they are joined to form an N-heterocyclyl group); R5 is aryl, aryl-lower alkyl, aryloxy, aroyl or N-heterocyclyl). R13 is lower alkyl, C3-C10 cycloalkyl or C3-C10cycloalkyl-lower alkyl; R14 is H or optionally substituted (aryl, aryl-W-, aryl-lower alkyl-W-, C3-C10 cycloalkyl, C3-C10 cycloalkyl-W-, N-heterocyclyl or N-heterocyclyl-W-, phthalimide, hydantoin, oxazolidinone, or 2,6-dioxopiperazine), wherein -W- is -O-, -C(O)-, -NH(R6)-, -NH(R6)-C(O)-, -NH(R6)-C(O)-O-, -S(O)-, -S(O)2- or -S-; addnl. definitions are given in the claims. Ten example prepns. of I and intermediates are included and characterization data are given for >300 I. For example, the intermediate 6-bromomethyl-7-neopentyl-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile was prepd. from starting from neopentylamine and 5-bromo-2,4-dichloropyrimidine via intermediates 5-bromo-2-chloro-4-[(neopentyl)amino]pyrimidine, 5-bromo-2-cyano-4-[(neopentyl)amino]pyrimidine, 2-cyano-4-[(neopentyl)amino]-5-[3-[(tetrahydro-2H-pyran-2-yl)oxy]prop-1-ynyl]pyrimidine, 7-neopentyl-6-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile, and 6-hydroxymethyl-7-neopentyl-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile. Its reaction with 2-chloro-5-hydroxypyridine in DMSO or DMF in the presence of K2CO3 gave 99% 6-[(6-chloropyridin-3-yloxy)methyl]-7-neopentyl-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile.

IT 501128-41-2P, 7-(2,2-Dimethylpropyl)-6-((4,5-bis(aminocarbonyl)imidazol-1-yl)methyl)-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyrimidinecarbonitriles as inhibitors of cathepsin K with therapeutic uses)
RN 501128-41-2 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[[2-cyano-7-(2,2-dimethylpropyl)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]methyl]- (9CI) (CA INDEX NAME)

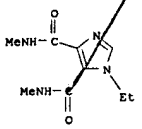
L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1971:2483 CAPLUS
DOCUMENT NUMBER: 74:2483
TITLE: Effect of alkylamides of imidazole- and pyrazoledicarboxylic acids on water-salt metabolism
AUTHOR(S): Sapronov, N. S.; Ryzhenkov, V. E.; Khlienko, Zh. N.
CORPORATE SOURCE: Inst. Exp. Med., Leningrad, USSR
SOURCE: Byulleten Eksperimental'noi Biologii i Meditsiny (1970), 70(10), 58-60
CODEN: BEBMAE; ISSN: 0365-9615
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Ethymizol (1-ethyl-N,N'-dimethyl-4,5-imidazoledicar-boxamide) (I) and ethpyrole (N,N'-dimethyl-3,4-pyrazoledicar-boxamide) (II) administered i.p. to rats at 20 and 40 mg/kg, resp., markedly increased urinary Na excretion, slightly increased K excretion, and inhibited H2O diuresis for the 1st 2 hr. With smaller ethymizol doses (5-10 mg/kg) the effects on electrolyte excretion were retained. Hypophysectomy or adrenalectomy did not affect ethymizol or ethpyrole action on H2O-salt metabolism.
IT 64-99-3
RL: BIOL (Biological study)
(diuresis response to)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)



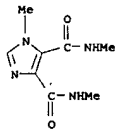
L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1964:461686 CAPLUS
DOCUMENT NUMBER: 61:61686
ORIGINAL REFERENCE NO.: 61:10688e-h
TITLE: Caproamide derivatives
PATENT ASSIGNEE(S): ROWA Ltd.
SOURCE: 10 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

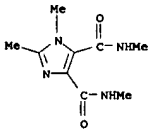
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR M2509		19640601	FR	19630326
PRIORITY APPLN. INFO.:			FR	19630326

OTHER SOURCE(S): MARPAT 61:61686
GI For diagram(s), see printed CA Issue.
AB Synthesis of an antiinflammatory, analgesic, and antipyretic agent of the general formula I, where R is H or iso-Pr, and X is H or Ac is described. Thus, 13.1 g. ε-aminocaproic acid is dissolved in 10 cc. concentrated HCl, 50 cc. acetone added, the mixture concentrated in vacuo, in the residue taken up in 20 cc. acetone, and the solution boiled and added to 20 cc. dioxane while adding 10 cc. EtOH, then 50 cc. acetone and 20 cc. ether; the HCl salt precipitate overnight in the cold and m. 132°. The HCl salt (16 g.) is suspended in 100 cc. anhydrous CHCl3, 27 cc. SOCl2 introduced slowly while cooling and agitating, the mixture heated and concentrated in vacuo at 40°, the residual SOCl2 eliminated by repeated concentration with anhydrous benzene, the amino acid chloride taken up in 50 cc. anhydrous CHCl3, the solution added to 100 cc. CHCl3 containing 20.3 g. 4-aminoantipyrine, and 20.2 g. triethanolamine with cooling and agitation, the mixture heated, concentrated in vacuo, and washed 3 times with H2O, the CHCl3 phase dried over Na2SO4, 50 cc. anhydrous ether and 50 cc. heptane added, and the solution cooled overnight to give 18 g. N-antipyrinyl-ε-aminocaproamide, m. 108-9°. The acetamido analog is prepared by first acetylating the amino acid then treating with SOCl2 and proceeding similarly to give N-antipyrinyl-ε-acetamidocaproamide, m. 148-50°. L.D.50 in mice is 3.85 g. administered intraperitoneally. Average daily dose is 0.5-1.5 g. in form of pills, suppositories, or injections.
IT 880-90-0P, Imidazole-4,5-dicarboxamide, N,N',1-trimethyl-
RL: PREP (Preparation)
(preparation of)
RN 880-90-0 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, N,N',1-trimethyl- (9CI) (CA INDEX NAME)

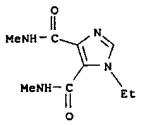
L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1961:124800 CAPLUS
DOCUMENT NUMBER: 55:124800
ORIGINAL REFERENCE NO.: 55:23502d-e
TITLE: Derivatives of imidazoledicarboxylic acids. III. Bis(methylamides) of 2-alkylimidazole-4,5-dicarboxylic acids
AUTHOR(S): Vinogradova, N. B.; Khromov-Borisov, N. V.
CORPORATE SOURCE: Inst. Exptl. Med., Acad. Med. Sci., Moscow
SOURCE: Zhurnal Obshchei Khimii (1961), 31, 1476-9
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The following amides had a very weak sedative action. 2-Methylimidazole-4,5-dicarboxylic acid esterified with MeOH-HCl, then treated with aqueous Na2CO3 gave Na salt of the unreacted acid as a precipitate The filtrate treated with 20% aqueous MeNH2 rapidly gave 54% 2-methylimidazole-4,5-dicarboxylic acid bis(methylamide), m. 225-6°. Similarly was prepared the 2-ethyl analog, m. 221-2°. Esterification of 2-methylimidazole-4,5-dicarboxylic acid with MeOH as above and treatment with MeONa and MeI followed by MeNH2, gave 26% 1,2-dimethylimidazole-4,5-dicarboxylic acid bis(methylamide), m. 191.52.5°.
IT 16806-05-6P, Imidazole-4,5-dicarboxamide, N,N',1,2-tetramethyl-
RL: PREP (Preparation)
(preparation of)
RN 16806-05-6 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, N,N',1,2-tetramethyl- (9CI) (CA INDEX NAME)

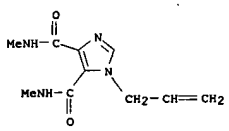


L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1961:124799 CAPLUS
DOCUMENT NUMBER: 55:124799
ORIGINAL REFERENCE NO.: 55:23502b-d
TITLE: Derivatives of imidazoledicarboxylic acids. II. Bis(methylamides) of 1-alkylimidazole-4,5-dicarboxylic acids
AUTHOR(S): Vinogradova, N. B.; Khromov-Borisov, N. V.; Kozhevnikov, S. P.; Livshits, I. M.
CORPORATE SOURCE: Inst. Exptl. Med., Acad. Med. Sci., Moscow
SOURCE: Zhurnal Obshchei Khimii (1961), 31, 1471-6
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The following bis(methylamides) were sedatives for the central nervous system. Basic hydrolysis of di-Me imidazole-4,5-dicarboxylate gave the Na salt of mono-Me ester (cf. above abstract), does not m. 300°, which heated 0.5 hr. with 25% KOH gave the free acid, m. 288°. The mono-Na salt above was neutralized with HCl and the precipitated mono-Me ester treated with MeOH-dry HCl to give 65% di-Me ester, m. 202-3°. Treatment of the di-Me ester in MeOH with MeONa followed by the desired alkyl halide and amine gave after refluxing 6 hrs.: 43.8% 1-ethylimidazole-4,5-dicarboxylic acid bis(methylamide) m. 142-3°; 18% 1-propyl analog, m. 86-7°; 31.35% 1-allyl analog, m. 91-3°; 20% 1-benzyl analog, m. 110-11°. The di-Me ester above and (CH2Br)2-MeONa gave 8.7% 1,2-bis[4,5-bis(methylcarbamoyl)-1-imidazolylethane, m. 256-7°.
IT 64-99-3P, Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl-
2642-69-5P, Imidazole-4,5-dicarboxamide, 1-allyl-N,N'-dimethyl-
3304-78-7P, Imidazole-4,5-dicarboxamide, N,N'-dimethyl-1-propyl-
16806-02-3P, Imidazole-4,5-dicarboxamide, 1-benzyl-N,N'-dimethyl-
16806-03-4P, Imidazole-4,5-dicarboxamide, 1,1'-ethylenebis[N,N'-dimethyl-
RL: PREP (Preparation)
(preparation of)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)

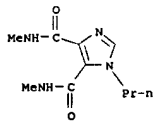


RN 2642-69-5 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, N,N'-dimethyl-1-(2-propenyl)- (9CI) (CA INDEX NAME)

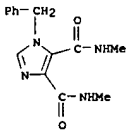
L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 3304-78-7 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, N,N'-dimethyl-1-propyl- (9CI) (CA INDEX NAME)

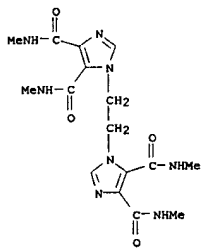


RN 16806-02-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, N,N'-dimethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 16806-03-4 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1,1'-(1,2-ethanediyl)bis[N,N'-dimethyl- (9CI) (CA INDEX NAME)

L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



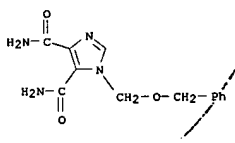
11205956

L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1960:7320 CAPLUS
DOCUMENT NUMBER: 54:7320
ORIGINAL REFERENCE NO.: 54:1550f-i
TITLE: 1-Etherified hydroxyalkyl 4,5-imidazole dicarboxamides
INVENTOR(S): Leanza, Wm. J.
PATENT ASSIGNEE(S): Merck & Co., Inc.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

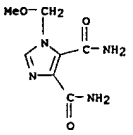
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2897205		19590728	US 1958-744910	19580627

GI For diagram(s), see printed CA Issue.
AB Compds. ROCHR'N.CH:N.C(CONH2):CCONH2 (I), were active against poultry coccidiosis; R' was H or lower alkyl and R was a hydrocarbon radical of less than 9 C atoms. AgN.CH:N.C(CO2Me):CCO2Me (II) in 100 ml. PhMe mixed with 3 ml. CH2ClOMe, the mixture refluxed 18 hrs., the precipitate filtered off, and the filtrate evaporated to dryness gave the 1-MeOCH2 compound (III), sirup. III dissolved in 50 ml. concentrated NH4OH and 20 ml. MeOH, the mixture allowed to stand 24 hrs. at room temperature, the precipitate filtered off, and recrystd. (MeOH) gave I. (R = Me, R' = H), m. 186-8°. II was prepared by adding 17 g. AgNO3 in 150 ml. H2O to 18.6 g. R''N.CH:N.C(CO2Me):CCO2Me (IV) (R'' = H) (V) in 700 ml. 50% aqueous MeOH at 50°. adding dilute NH4OH until the mixture was slightly basic, digesting the resulting gel 90 min. at 50-60°, filtering off the granular II, washing with H2O and MeOH, and drying in vacuo. Ag salts of the Et, Pr, and Bu ester homologs were prepared similarly. The following I were prepared (R'' in IV, R, R', m.p. of I given): EtOCH2, Et, H, 165-7°; MeOCH2CH2OCH2, MeOCH2CH2, H, 139-40° (from the Ag derivative of V and MeOCH2CH2OCH2Cl, b. 150°); -, PhCH2, H, - from the Na derivative of HN.CH:N.C(CO2Et):CCO2Et and PhCH2OCH2Cl.
IT 98335-41-2P, Imidazole-4,5-dicarboxamide, 1-(methoxymethyl)- 98490-46-1P, Imidazole-4,5-dicarboxamide, 1-(ethoxymethyl)- 100144-12-5P, Imidazole-4,5-dicarboxamide, 1-(2-methoxyethoxymethyl)- 100796-71-2P, Imidazole-4,5-dicarboxamide, 1-[(benzyloxy)methyl]-
RL: PREP (Preparation)
(preparation of)
RN 98335-41-2 CAPLUS
CN Imidazole-4,5-dicarboxamide, 1-(methoxymethyl)- (6CI) (CA INDEX NAME)

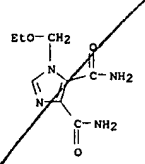
L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



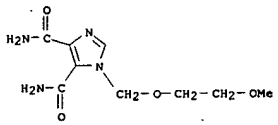
L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 98490-46-1 CAPLUS
CN Imidazole-4,5-dicarboxamide, 1-(ethoxymethyl)- (6CI) (CA INDEX NAME)

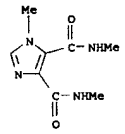


RN 100144-12-5 CAPLUS
CN Imidazole-4,5-dicarboxamide, 1-(2-methoxyethoxymethyl)- (6CI) (CA INDEX NAME)



RN 100796-71-2 CAPLUS
CN Imidazole-4,5-dicarboxamide, 1-[(benzyloxy)methyl]- (6CI) (CA INDEX NAME)

L9 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1959:52454 CAPLUS
DOCUMENT NUMBER: 53:52454
ORIGINAL REFERENCE NO.: 53:9470i,9471a-b
TITLE: Effect of new alkaloids antagonistic to purines in the central nervous system
AUTHOR(S): Anichkov, S. V.; Borodkin, Yu. S.
CORPORATE SOURCE: Inst. Exptl. Med., Acad. Med. Sci. U.S.S.R., Moscow
SOURCE: Vestnik Akademii Meditsinskikh Nauk SSSR (1959), 14(No. 1), 14-19
CODEN: VAMNAQ; ISSN: 0002-3027
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Under study were bis(methylamide) of 1-methyl-4,5-imidazoledicarboxylic acid (IEM-168) and bis(methylamide) of 4,5-imidazoledicarboxylic acid (IEM-163). The toxicity of the 2 compds. is slightly above that of compds. of the caffeine (I) group. I and theophylline (II) were studied simultaneously for control purposes. Results showed that IEM derivs. were characterized by a highly selective action on the central nervous system. In some respects both derivs. acted like xanthine derivs. in that, like I and II they affected corazole convulsions. However, the effect of the two IEM derivs. on the central nervous system, as manifested by performance of conditioned reflexes, was markedly different in white mice.
IT 880-90-0, IEM 168
(effect on central nervous system)
RN 880-90-0 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, N,N',1-trimethyl- (9CI) (CA INDEX NAME)



Karen Cheng

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:120833 CAPLUS
DOCUMENT NUMBER: 140:175177
TITLE: Methods using 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation
INVENTOR(S): Sapronov, Nikolay Sergeevich; Piotrovsky, Levon Borisovich; Gavrovskaya, Luidmila Konstantinovna
PATENT ASSIGNEE(S): Biodiem Limited, Australia
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013108	A1	20040212	WO 2003-AU972	20030731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494408	A1	20040212	CA 2003-2494408	20030731
AU 2003281848	A1	20040223	AU 2003-281848	20030731
EP 1539707	A1	20050615	EP 2003-739880	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006135587	A1	20060622	US 2005-519645	20050922
PRIORITY APPLN. INFO.:			RU 2002-120366 A	20020801
			WO 2003-AU972 W	20030731

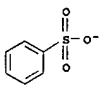
OTHER SOURCE(S): MARPAT 140:175177
AB The invention discloses methods for promoting healing and reducing inflammation, and compns. therefore. In particular, the invention relates to the use of 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts to promote wound healing and to reduce inflammation. Novel compds. and compns. are also provided. In one preferred embodiment, the invention provides a method of treatment of myocardial infarction.
IT 657349-34-3P 657349-36-5P 657349-38-7P
657349-39-8P 657349-42-3P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 657349-34-3 CAPLUS
CN 1H-Imidazolium, 1,3-dimethyl-4,5-bis[(methylamino)carbonyl]-,

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 3198-32-1
CMF C6 H5 O3 S



RN 657349-38-7 CAPLUS
CN 1H-Imidazolium, 1,3-diethyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-37-6
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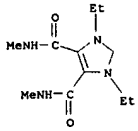

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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CRN 3198-32-1
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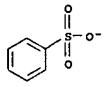
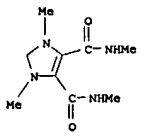


RN 657349-39-8 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzoate
(9CI) (CA INDEX NAME)

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

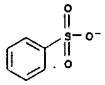
CRN 657349-33-2
CMF C9 H15 N4 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 3198-32-1
CMF C6 H5 O3 S



RN 657349-36-5 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 657349-35-4
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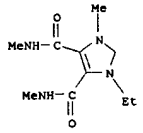
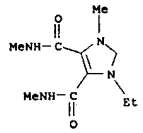


L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

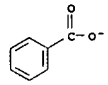
CRN 657349-35-4
CMF C10 H17 N4 O2



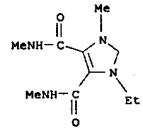
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 766-76-7
CMF C7 H5 O2



RN 657349-42-3 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, chloride
(9CI) (CA INDEX NAME)



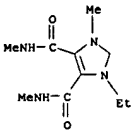
● Cl⁻

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
IT 657349-40-1P 657349-41-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 657349-40-1 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, salt with 2-hydroxybenzoic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 657349-35-4
CMF C10 H17 N4 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

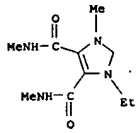
CRN 63-36-5
CMF C7 H5 O3

RN 657349-41-2 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, salt with 2,5-dihydroxybenzoic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 657349-35-4
CMF C10 H17 N4 O2

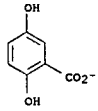
L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 490-80-2
CMF C7 H5 O4

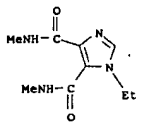


L3 ANSWER 1 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:333039 CAPLUS
DOCUMENT NUMBER: 144:324866
TITLE: Taboo method for treating patients for behavior disease - dependency
INVENTOR(S): Chumachenko, A. A.; Erichev, A. N.
PATENT ASSIGNEE(S): Russia
SOURCE: Russ., 10 pp.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2273498	C1	20060410	RU 2004-124911	20040804
PRIORITY APPLN. INFO.:			RU 2004-124911	20040804

AB Method for the treatment of behavior disease - dependency is disclosed. Method involves psychol. correction, administration of ethymisol at the dose of 10-60 mg. Emotional stress treatment is applied using individually selected video stream and acoustic accompaniment synchronized with the video stream. Pure tones are sent to stereo headphones. Tones of 200, 248, 400 Hz are supplied to the right side and 204, 252, 417 Hz to the left side. Pink noise, musical noise and speech meeting the video scale conditions are supplied to both headphones. A patient listens to record of individually mounted audio stream in the morning and in the evening at the psychol. support stage. The audio stream to be shown 3-10 min long in the morning contains pure tones sent into the stereo headphones. Tones of 200, 248, 400 Hz are supplied to the right side and 210, 258, 417 Hz to the left side. The audio stream to be shown 20-45 min long in the evening contains pure tones sent into the stereo headphones. Tones of 200, 248, 400 Hz are supplied to the right side and 204, 256, 417 Hz to the left side. Pink noise, music and speech are supplied to the right and left headphones. Both audio streams contain individually selected music and text recorded from patient voice. Their substance varying from forbidding to encouraging sense is modified once a month. Method enables to widen range of the arsenal in therapy of behavior disease - dependency.
IT 64-99-3, Ethymisol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(taboo method for treating patients for behavior disease - dependency)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

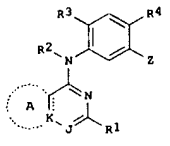


L3 ANSWER 2 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:409524 CAPLUS
DOCUMENT NUMBER: 142:463438
TITLE: Preparation of phenylamine substituted bicyclic heterocyclic compounds useful as kinase inhibitors
INVENTOR(S): Das, Jagabandhu; Hynes, John; Leftheris, Katerina; Lin, Shuqun; Wrobleski, Stephen T.; Wu, Hong
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

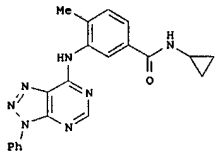
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042537	A1	20050512	WO 2004-US35116	20041022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005143398	A1	20050630	US 2004-970420	20041021
PRIORITY APPLN. INFO.:			US 2003-513285P	P 20031022

OTHER SOURCE(S): MARPAT 142:463438
GI

L3 ANSWER 2 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



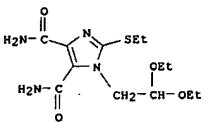
I



II

AB Title compds. I [J = N or CR5; R1 and R5 independently = H, OH, halo, CN, etc.; R2 = H or alkyl; R3 and R4 independently = H, (un)substituted-alkyl, OH, MeO, halo, etc.; K = N or C; Z = NHR6, CONR6R7, NR6CO2R7, etc.; R6 = H or (un)substituted alkyl; R7 = H, OH, alkoxy, etc.; Ring A = fused heterocycle or carbocycle], and their pharmaceutically acceptable salts, prodrugs, and solvates thereof, are prepared and disclosed as kinase inhibitors. Thus, e.g., II was prepared by reaction of 4-chloro-1-phenyl-1,2,3,5,7-azaindene with 3-amino-4-methyl-N-cyclopropylbenzamide. I have shown activity as inhibitors of p38α/β enzymes and TNF-α (no data).
IT 851772-95-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylamine substituted bicyclic heterocyclic compound as kinase inhibitors)
RN 851772-95-7 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-(2,2-diethoxyethyl)-2-(ethylthio)- (9CI)
(CA INDEX NAME)

L3 ANSWER 2 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L3 ANSWER 3 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:347024 CAPLUS
DOCUMENT NUMBER: 142:387164
TITLE: Single nucleotide polymorphism genotyping using minor groove-binding probes, FRET and melting curve analysis
INVENTOR(S): Belousov, Yevgeniy S.; Dempcy, Robert O.
PATENT ASSIGNEE(S): Epoch Biosciences, Inc., USA; Lokhov, Sergey G.; Vorobiev, Alexei
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035545	A2	20050421	WO 2004-US32265	20040930
WO 2005035545	A3	20050728		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005118623	A1	20050602	US 2004-954955	20040929
AU 2004279810	A1	20050421	AU 2004-279810	20040930
CA 2540551	A1	20050421	CA 2004-2540551	20040930
EP 1670928	A2	20060621	EP 2004-789416	20040930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

PRIORITY APPLN. INFO.: US 2003-508792P P 20031002
WO 2004-US32265 W 20040930

AB Methods and probes are provided for the anal. of target sequences having two or more polymorphisms wherein one of the polymorphisms is to be distinguished and another polymorphism is to be masked. Methods of determining several single nucleotide polymorphisms (SNPs) in a single sequence are described. The method allows the detection of one SNP in a sample by a given primer/probe combination while others are not detected by this combination, but are detected by others. The method uses primers and probes including a minor groove-binding ligand, a fluorescent reporter, and a quencher moiety. Primers and probes may be designed using MGB Eclipse Design Software. The use of the minor groove binding moiety minimizes false positives. The primer and probe may also have a modified backbone and may include base analogs with greater or weaker stringency in

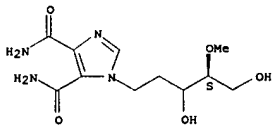
L3 ANSWER 3 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

hybridization. Bases corresponding to polymorphic sites within the primer binding site may be masked by using a non-selective base at the complementary site on the primer. After amplification, the probes are hybridized with the amplification products and the fluorescence of the reporter groups released from the quencher by hybridization is detd. Melting curve anal. can be used to identify other polymorphisms affecting stability of the hybrid.

IT 849765-54-4D, oligonucleotides containing
RL: ARU (Analytical role, unclassified); ANST (Analytical study)
(as universal base analog; single nucleotide polymorphism genotyping using minor groove-binding probes, FRET and melting curve anal.)

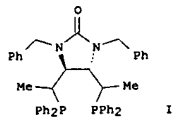
RN 849765-54-4 CAPLUS
CN D-glycero-Pentitol, 5-(4,5-bis(aminocarbonyl)-1H-imidazol-1-yl)-4,5-dideoxy-2-O-methyl-, (3ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 4 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:261484 CAPLUS
DOCUMENT NUMBER: 144:88209
TITLE: Synthesis of diastereomeric 1,4-diphosphine ligands bearing imidazolidin-2-one backbone and their application in Rh(I)-catalyzed asymmetric hydrogenation of functionalized olefins
AUTHOR(S): Zhang, Yong Jian; Kim, Kee Yong; Park, Jung Hwan; Song, Choong Eui; Lee, Kyungae; Lah, Myoung Soo; Lee, Sang-gi
CORPORATE SOURCE: Life Sciences Division, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SOURCE: Advanced Synthesis & Catalysis (2005), 347(4), 563-570
CODEN: ASCAF7; ISSN: 1615-4150
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:88209
GI

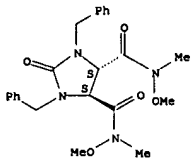


AB The diastereomeric 1,4-diphosphine ligands, (S,S,S,S)-I, (R,S,S,R)-I and (R,S,S,S)-I, with the imidazolidin-2-one backbone were synthesized, and utilized for an investigation of the effects of backbone chirality on the enantioselectivity in the Rh(I)-catalyzed hydrogenation of various functionalized olefinic substrates. It was found that the catalytic efficiencies are largely dependent on the configurations of the α-carbons to phosphine. Thus, the Rh complex of the pseudo-C2-sym. diphosphine, (R,S,S,S)-I, showed excellent enantioselectivities (93.0-98.6% ee) in the hydrogenations of a broad spectrum of substrates, and especially in the hydrogenations of Me α-(N-acetyamino)-β-arylacrylates (95.3-97.0% ee). However, the enantioselectivities obtained with the C2-sym. (R,S,S,R)-I were largely dependent on the substrate (19.8-97.3% ee). The Rh complex of (S,S,S,S)-I ligand showed the lowest catalytic efficiency for all of the substrates examined (0-84.8% ee).

IT 872175-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diastereomeric diphosphine ligands bearing imidazolidinone backbone as chiral ligands for Rh(I)-catalyzed asym. hydrogenation of functionalized olefins)

RN 872175-11-6 CAPLUS
CN 4,5-Imidazolidinedicarboxamide, N,N'-dimethoxy-N,N'-dimethyl-2-oxo-1,3-bis(phenylmethyl)-, (4S,5S)- (9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
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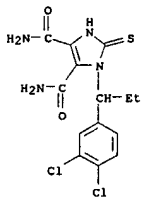
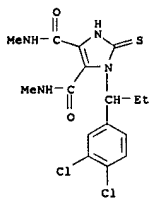
L3 ANSWER 5 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

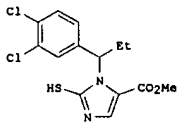


RN 847448-25-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-N,N'-dimethyl-2-thioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L3 ANSWER 5 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:74646 CAPLUS
DOCUMENT NUMBER: 142:280123
TITLE: 2-Mercaptoimidazoles, a new class of potent CCR2 antagonists
AUTHOR(S): Van Lommen, Guy; Doyon, Julien; Coesemans, Erwin; Boeckx, Staf; Cools, Marina; Buntinx, Mieke; Hermans, Bart; Van Wauwe, Jean
CORPORATE SOURCE: Inflammation Research, Johnson and Johnson Pharmaceutical Research and Development, Beerse, B-2340, Belg.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(3), 497-500
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:280123
GI



I

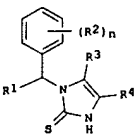
AB The synthesis and SAR of a class of CCR2 antagonists based on a 2-mercaptoimidazole scaffold, e.g., I. The initial lead compound was optimized to the corresponding optical active 3,4-disubstituted analogs, which have IC50 values in the MCP-1 induced Ca-flux below 0.01 μM.
IT 742108-40-3P 847448-25-3P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, CCR2 antagonistic activity, and structure-activity relationship of mercaptoimidazoles using heterocyclization as the key step)
RN 742108-40-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 6 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:675729 CAPLUS
DOCUMENT NUMBER: 141:207206
TITLE: Preparation of mercaptoimidazoles as CCR2 receptor antagonists for the treatment of inflammatory disease
INVENTOR(S): Van Lommen, Guy Rosalia Eugeen; Doyon, Julien Georges Pierre-Olivier; Van Wauwe, Jean Pierre Frans; Cools, Marina Lucie Louise; Coesemans, Erwin
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069809	A1	20040819	WO 2003-EP1038	20030203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003215549	A1	20040830	AU 2003-215549	20030203
AU 2004210071	A1	20040819	AU 2004-210071	20040130
CA 2513109	A1	20040819	CA 2004-2513109	20040130
WO 2004069810	A1	20040819	WO 2004-EP957	20040130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1592670	A1	20051109	EP 2004-706674	20040130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1745069	A	20060308	CN 2004-80003283	20040130
JP 2006516589	T	20060706	JP 2006-501712	20040130
US 2006058289	A1	20060316	US 2005-544569	20050803
PRIORITY APPLN. INFO.:			WO 2003-EP1038 A	20030203
			WO 2003-EP301038 A	20030203
			WO 2004-EP957 A	20040130

OTHER SOURCE(S): MARPAT 141:207206
GI

L3 ANSWER 6 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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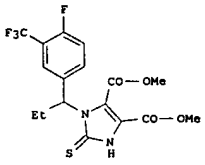


II

AB The invention relates to mercaptoimidazoles of formula I, N-oxides, pharmaceutically acceptable addition salts, quaternary amines and stereochem.
isomeric forms thereof, wherein R1 is H, (cyclo)alkyl, (hetero)aryl; R2 is
halo, alkyl(oxy/thio), polyhaloalkyl(oxy), cyano, aminocarbonyl, (di)(alkyl)amino, nitro, aryl(oxy); R3 and R4 are H, cyano, (hydroxy)alkyl, C(O)OR5, C(O)NR6aR6b, S(O)2NR6aR6b, C(O)R7; R5 is a defined carbon or N-heterocyclic ester group; R6a, R6b is H, alkyl, (di)(alkyl)amino(alkyl), arylamino; or NR6aR6b is a N-heterocycle; R7 is H, alk(en/yn)yl, aryl, certain substituted alkyls; n is 1-5, etc., with some limitations. The compds. have been synthesized as CCR2 receptor antagonists and found useful for the treatment and prevention of diseases,
such as inflammation, which are mediated through activation of the CCR2 receptor, particularly CCR2B receptor. The invention also relates to processes for preparing the compds. and pharmaceutical compns. comprising them. Thus, compound II was prepared from 1-(4-fluoro-3-(trifluoromethyl)phenyl]-1-propanone via oxime formation, reduction, N-alkylation with Me bromoacetate, formylation and finally cyclocondensation with (CO2Me)2 and KSCN. The synthesized compds. showed inhibition of MCP-1 induced Ca-flux in human THP-1 cells with pIC50 5.6-8.2 (pIC50 = -log IC50).

IT 742108-15-2P 742108-27-6P 742108-28-7P
742108-40-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(receptor antagonist; preparation of mercaptoimidazoles as CCR2 receptor
antagonists for the treatment of inflammatory disease)

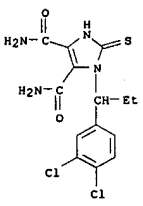
RN 742108-15-2 CAPLUS

CN 1H-Imidazole-4,5-dicarboxamide, 1-[(1R)-1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)

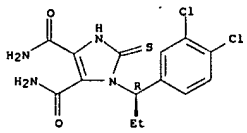
Absolute stereochemistry.

L3 ANSWER 6 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)

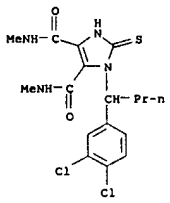


L3 ANSWER 6 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



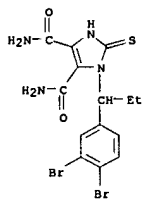
RN 742108-27-6 CAPLUS

CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)butyl]-2,3-dihydro-N,N'-dimethyl-2-thioxo- (9CI) (CA INDEX NAME)



RN 742108-28-7 CAPLUS

CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dibromophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



RN 742108-40-3 CAPLUS

L3 ANSWER 7 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN

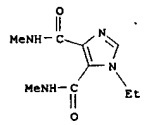
ACCESSION NUMBER: 2004:548743 CAPLUS
DOCUMENT NUMBER: 141:117045
TITLE: Ethimizol impact on fatigue of inspiratory muscles
AUTHOR(S): Vinogradova, I. A.; Shevchenko, A. I.
CORPORATE SOURCE: Kafedra Farmakol., Petrozavod.Gos. Univ., Russia
SOURCE: Patologicheskaya Fiziologiya i Eksperimental'naya Terapiya (2004), (2), 26-28
CODEN: PAFEAY; ISSN: 0031-2991
PUBLISHER: Izdatel'stvo Meditsina
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB The aim of the study was assessment of ethimizol effects on fatigue of respiratory muscles and ventilatory disorders caused by inspiratory resistive load on respiration. Cat expts. showed that administration of ethimizol in inspiratory fatigue reestablishes total bioelec. activity of the inspiratory muscles and diaphragmatic nerve, diminishes useful respiratory cycle and respiration rate. Thus, ethimizol in a 1 mg/kg dose
i.v. compensates inspiratory muscular fatigue via central mechanism of action.

IT 64-99-3, Ethimizol
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethimizol impact on fatigue of inspiratory muscles)

RN 64-99-3 CAPLUS

CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 8 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:120833 CAPLUS
DOCUMENT NUMBER: 140:175177
TITLE: Methods using 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation
INVENTOR(S): Sapronov, Nikolay Sergeevich; Piotrovsky, Levon Borisovich; Gavrovskaya, Luidmila Konstantinovna
PATENT ASSIGNEE(S): Biodiem Limited, Australia
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013108	A1	20040212	WO 2003-AU972	20030731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494408	A1	20040212	CA 2003-2494408	20030731
AU 2003281848	A1	20040223	AU 2003-281848	20030731
EP 1539707	A1	20050615	EP 2003-739880	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006135587	A1	20060622	US 2005-519645	20050922
PRIORITY APPLN. INFO.:			RU 2002-120366 A	20020801
			WO 2003-AU972 W	20030731

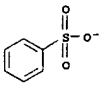
OTHER SOURCE(S): MARPAT 140:175177
AB The invention discloses methods for promoting healing and reducing inflammation, and compns. therefore. In particular, the invention relates to the use of 1,3-dialkyl-4,5-bis(N-methylcarbamoyl)imidazolium salts to promote wound healing and to reduce inflammation. Novel compds. and compns. are also provided. In one preferred embodiment, the invention provides a method of treatment of myocardial infarction.
IT 657349-34-3P 657349-36-5P 657349-38-7P
657349-39-8P 657349-42-3P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 657349-34-3 CAPLUS
CN 1H-Imidazolium, 1,3-dimethyl-4,5-bis[(methylamino)carbonyl]-,

L3 ANSWER 8 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

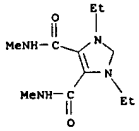
CRN 3198-32-1
CMF C6 H5 O3 S



RN 657349-38-7 CAPLUS
CN 1H-Imidazolium, 1,3-diethyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

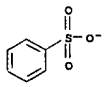
CRN 657349-37-6
CMF C11 H19 N4 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 3198-32-1
CMF C6 H5 O3 S

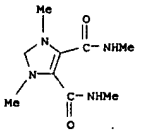


RN 657349-39-8 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzoate
(9CI) (CA INDEX NAME)

L3 ANSWER 8 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
benzenesulfonate (9CI) (CA INDEX NAME)

CM 1

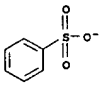
CRN 657349-33-2
CMF C9 H15 N4 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

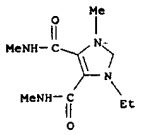
CRN 3198-32-1
CMF C6 H5 O3 S



RN 657349-36-5 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, benzenesulfonate (9CI) (CA INDEX NAME)

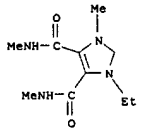
CM 1

CRN 657349-35-4
CMF C10 H17 N4 O2



L3 ANSWER 8 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

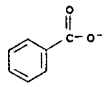
CRN 657349-35-4
CMF C10 H17 N4 O2



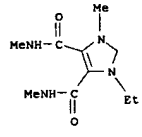
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 766-76-7
CMF C7 H5 O2



RN 657349-42-3 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, chloride
(9CI) (CA INDEX NAME)



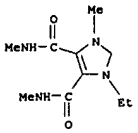
● Cl⁻

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
IT 657349-40-1P 657349-41-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L3 ANSWER 8 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Uses)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 657349-40-1 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, salt with 2-hydroxybenzoic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 657349-35-4
CMF C10 H17 N4 O2



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

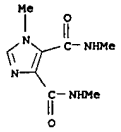
CRN 63-36-5
CMF C7 H5 O3

RN 657349-41-2 CAPLUS
CN 1H-Imidazolium, 1-ethyl-3-methyl-4,5-bis[(methylamino)carbonyl]-, salt with 2,5-dihydroxybenzoic acid (1:1) (9CI) (CA INDEX NAME)

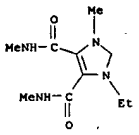
CM 1

CRN 657349-35-4
CMF C10 H17 N4 O2

L3 ANSWER 8 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



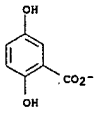
L3 ANSWER 8 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



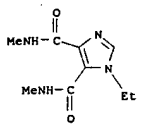
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 490-80-2
CMF C7 H5 O4



IT 64-99-3 880-90-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(dialkyl-bis(N-methylcarbamoyl)imidazolium salts for promoting healing and reducing inflammation)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)

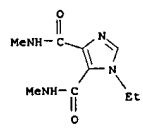


RN 880-90-0 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, N,N',1-trimethyl- (9CI) (CA INDEX NAME)

L3 ANSWER 9 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:467191 CAPLUS
DOCUMENT NUMBER: 139:128027
TITLE: Method for the treatment of nonspecific ulcerative colitis
INVENTOR(S): Chashkova, E. Yu.; Pak, V. E.; Grigor'ev, E. G.
PATENT ASSIGNEE(S): Nauchnyi Tsentr Rekonstruktivnoi i Vosstanovitel'noi Khirurgii Vostochno-Sibirskogo Nauchnogo Tsentra SO RAMN, Russia
SOURCE: Russ., No pp. given
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2200007	C2	20030310	RU 1999-104916	19990305
PRIORITY APPLN. INFO.:			RU 1999-104916	19990305

AB Method is disclosed for the treatment of nonspecific ulcerative colitis. Method involves administration of ethymizol at a daily dose of 0.3-0.8 g for 10-30 days after having determined in advance morning and evening serum cortisol level and the value occurred to be lower than the physiol. level. Method ensures the enhanced effectiveness of treatment; stable clin. remission; reduced drug consumption; avoided abstinence syndrome occurrence.
IT 64-99-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for treatment of nonspecific ulcerative colitis)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)

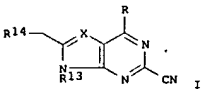


L3 ANSWER 10 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:202639 CAPLUS
DOCUMENT NUMBER: 138:221601
TITLE: Preparation of pyrrolopyrimidinecarbonitriles as inhibitors of cathepsin K
INVENTOR(S): Betschart, Claudia; Hayakawa, Kenji; Irie, Osamu; Sakaki, Junichi; Iwasaki, Genji; Lattmann, Rene; Missbach, Martin; Teno, Naoki
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020721	A1	20030313	WO 2002-EP9663	20020829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2458684	A1	20030313	CA 2002-2458684	20020829
EP 1423391	A1	20040602	EP 2002-797553	20020829
EP 1423391	B1	20060517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012226	A	20040817	BR 2002-12226	20020829
CN 1549817	A	20041124	CN 2002-816840	20020829
JP 2005502683	T	20050127	JP 2003-524991	20020829
NZ 531343	A	20060127	NZ 2002-531343	20020829
AT 326469	T	20060615	AT 2002-797553	20020829
PT 1423391	T	20060929	PT 2002-797553	20020829
ZA 2004001042	A	20041025	ZA 2004-1042	20040209
IN 2004CN00444	A	20051223	IN 2004-CN444	20040301
NO 2004001180	A	20040319	NO 2004-1180	20040319
US 2005054851	A1	20050310	US 2004-487760	20041014

PRIORITY APPLN. INFO.: GB 2001-21033 A 20010830
WO 2002-EP9663 W 20020829

OTHER SOURCE(S): MARPAT 138:221601
GI

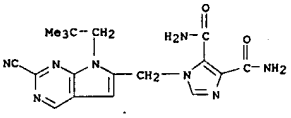


I

AB The invention provides pyrrolopyrimidinecarbonitriles and purinecarbonitriles (shown as I; variables defined below; e.g. 7-(2,2-dimethylpropyl)-6-[[4-(p-tolyl)piperazin-1-yl]methyl]-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile) or a pharmaceutically acceptable salt or ester thereof, which are inhibitors of cathepsin K and find use pharmaceutically for treatment of diseases and medical conditions in which cathepsin K is implicated, e.g. various disorders including inflammation, rheumatoid arthritis, osteoarthritis, osteoporosis and tumors. 7-(2,2-Dimethylpropyl)-6-[[4-(p-tolyl)piperazin-1-yl]methyl]-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile and 7-(2,2-dimethylpropyl)-6-[(2,4-dioxo-1,3,8-triazaspiro[4.5]dec-8-yl)methyl]-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile have IC50s for inhibition of human cathepsin K of 1 nM and 0.6 nM resp. For I: R is H, -R2, -OR2 or NR1R2 (R1 is H, lower alkyl or C3-C10 cycloalkyl; R2 is lower alkyl or C3-C10 cycloalkyl). X is :N- or :C(Z)- (Z is H, -C(O)-NR3R4, -NH-C(O)-R3, -CH2-NH-C(O)-R3, -C(O)-R3, -S(O)-R3, -S(O)2-R3, -CH2-C(O)-R3, -CH2-NR3R4, -R4, -C.tplbond.C-CH2-R5, N-heterocyclyl, N-heterocyclylcarbonyl, or -C(P):C(Q)-R4 (P and Q independently are H, lower alkyl or aryl; R3 is aryl, aryl-lower alkyl, C3-C10cycloalkyl, C3-C10cycloalkyl-lower alkyl, heterocyclyl or heterocyclyl-lower alkyl; R4 is H, aryl, aryl-lower alkyl, aryl-lower-alkenyl, C3-C10cycloalkyl, C3-C10cycloalkyl-lower alkyl, heterocyclyl or heterocyclyl-lower alkyl, or wherein R3 and R4 together with the N atom to which they are joined to form an N-heterocyclyl group); R5 is aryl, aryl-lower alkyl, aryloxy, aroyl or N-heterocyclyl). R13 is lower alkyl, C3-C10 cycloalkyl or C3-C10cycloalkyl-lower alkyl; R14 is H or optionally substituted (aryl, aryl-W-, aryl-lower alkyl-W-, C3-C10 cycloalkyl, C3-C10 cycloalkyl-W-, N-heterocyclyl or N-heterocyclyl-W-, phthalimide, hydantoin, oxazolidinone, or 2,6-dioxopiperazine), wherein -W- is -O-, -C(O)-, -NH(R6)-, -NH(R6)-C(O)-, -NH(R6)-C(O)-O-, -S(O)-, -S(O)2- or -S-; addnl. definitions are given in the claims. Ten example prepns. of I and intermediates are included and characterization data are given for >300 I. For example, the intermediate 6-bromomethyl-7-neopentyl-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile was prepared from starting from neopentylamine and 5-bromo-2,4-dichloropyrimidine via intermediates 5-bromo-2-chloro-4-[(neopentyl)amino]pyrimidine, 5-bromo-2-cyano-4-[(neopentyl)amino]pyrimidine, 2-cyano-4-[(neopentyl)amino]-5-[3-[(tetrahydro-2H-pyran-2-yl)oxy]prop-1-ynyl]pyrimidine, 7-neopentyl-6-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile, and 6-hydroxymethyl-7-neopentyl-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile. Its reaction with 2-chloro-5-hydroxypyridine in DMSO or DMF in the presence of K2CO3 gave 99% 6-[(6-chloropyridin-3-yloxy)methyl]-7-neopentyl-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile.

IT 501128-41-2P, 7-(2,2-Dimethylpropyl)-6-((4,5-bis(aminocarbonyl)imidazol-1-yl)methyl)-7H-pyrrolo[2,3-d]pyrimidine-2-carbonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of pyrrolopyrimidinecarbonitriles as inhibitors of cathepsin K with therapeutic uses)

RN 501128-41-2 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[[2-cyano-7-(2,2-dimethylpropyl)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:833631 CAPLUS
DOCUMENT NUMBER: 138:83413
TITLE: Method for vestibulovegetative disorders prevention in humans under conditions causing motion sickness
INVENTOR(S): Grigor'ev, A. I.; Morukov, B. V.; Nichiporuk, I. A.
PATENT ASSIGNEE(S): Gosudarstvennyi Nauchnyi Tsentr RF Institut Mediko-Biologicheskikh Problem RAN, Russia
SOURCE: Russ., No pp. given
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

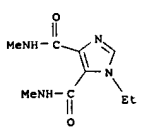
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2183118	C1	20020610	RU 2001-119299	20010712

PRIORITY APPLN. INFO.: RU 2001-119299 20010712

AB Method is disclosed for vestibulovegetative disorders prevention in humans under conditions causing motion sickness (seasickness). Method involves per os administration of neuroleptic preparation before multidirectional linear, angular, precessional and Coriolis accelerations are applied. Prostaglandin synthesis inhibitor and ethymizol are administered in min. therapeutic doses combined with the neuroleptic preparation Method ensures the improved general health state; increased attention concentration and response speed.

IT 64-99-3
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vestibulovegetative disorders prevention in humans under conditions causing motion sickness)

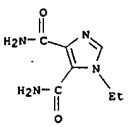
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 12 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:610785 CAPLUS
DOCUMENT NUMBER: 138:180525
TITLE: HMG14 status in age-dependent amnesia in rats
AUTHOR(S): Reichardt, B. A.; Kulikova, O. G.; Borisova, G. Yu.; Alexandrova, I. Ya.; Sapronov, N. S.
CORPORATE SOURCE: Experimental Medicine of the Russian Academy Med. Science, St. Petersburg, 197376, Russia
SOURCE: Rossiiskii Fiziologicheskii Zhurnal imeni I. M. Sechenova (2002), 88(5), 612-618
CODEN: RFZSFY; ISSN: 1029-595X
PUBLISHER: Nauka
DOCUMENT TYPE: Journal
LANGUAGE: Russian

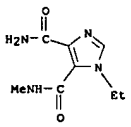
AB It has been shown that a decrease in HMGs transcription factors phosphorylation by protein kinase CK2 may be the cause of a gene expression decline in cognitive disorders. Passive avoidance amnesia in old rats (24 mo) was accompanied by a decrease in synaptosomal protein synthesis and transcription in isolated nuclei of cortex, hippocampus, and striatum. A decrease in chromatin protein kinase CK2 activity and a significant decrease in HMG14 phosphorylation by CK2 was found in old rats. CK2 activity and a significant decrease in HMG14 phosphorylation by CK2 was found in old rats. CK2 selective activators, a 4-carbamoyl-5-N-methylcarbamoyl-1-ethyl-imidazole and 4,5-dicarbamoyl-1-ethyl-imidazole, produced the HMG14 phosphorylation and transcription activation in old rats. At the same time, synaptosomal protein synthesis activation and passive avoidance amnesia reduction were observed in old rats. Thus, activation of CK2-HMG14 was accompanied by synaptic plasticity optimization. The data show a high therapeutic potential of activators of CK2-HMG14.

IT 61523-49-7 85275-59-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(HMG14 status in age-dependent amnesia in rats)
RN 61523-49-7 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl- (9CI) (CA INDEX NAME)



RN 85275-59-8 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N5-methyl- (9CI) (CA INDEX NAME)

L3 ANSWER 12 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

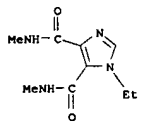


L3 ANSWER 13 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:38554 CAPLUS
DOCUMENT NUMBER: 136:194174
TITLE: NMDA-independent long-term depression of synaptic transmission in the hippocampus: Mechanisms of induction and effects of nootropic drugs
AUTHOR(S): Abramets, I. I.; Kuznetsov, Yu. V.; Samoi'lovich, I. M.
CORPORATE SOURCE: Ministry of Public Health of Ukraine, Donetsk State Medical University, Ukraine
SOURCE: Neurophysiology (Translation of Neirofiziologiya) (2001), 33(2), 86-93
CODEN: NPHYBI; ISSN: 0090-2977
PUBLISHER: Kluwer Academic/Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In studies on transversal slices of the rat dorsal hippocampus, we found that low-frequency tetanic stimulation of the medial perforant pathway (2 s-1, 7.5 min) results in long-term depression (LTD) of field EPSP of granular cells in the dentate gyrus. This synaptic plasticity phenomenon was weakened by calmodulin, nitric oxide synthase, and protein kinase C inhibitors, trifluoperazine (1 μM), N-nitro-L-arginine (5 μM), and polymixin B (50μM), resp., but was enhanced by a nonselective inhibitor of cAMP phosphodiesterases, 1-isobutyl-3-methylxanthine (100 μM), and a calcineurin inhibitor, cyclosporin A (50 μM). The nootropic activity-possessing drugs piracetam, carbacetam, and etimizole suppressed, in a dose-dependent manner, the induction and expression of the studied form of LTD of synaptic transmission, but glycine did nót. We assume that Ca2+- and protein kinase G-mediated increase in the activity of calmodulin is the main link in the induction of this LTD form. Calmodulin, via NO synthase and adenylate cyclase, increases the activities of protein kinase C, a substrate of the latter, and inhibitor 1. Under the influence of piracetam, carbacetam, and etimizole, the calmodulin concentration in the cytoplasm of dendritic spines attains a level sufficient for activation of Ca2-/calmodulin-dependent protein kinase, which provides for the phosphorylation of AMPA receptors and interferes with the development of LTD of synaptic transmission.

IT 64-99-3, Etimizole
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)
(neurochem. mechanisms of NMDA-independent long-term depression of synaptic transmission in hippocampus and the effects of nootropic drugs)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)

L3 ANSWER 13 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



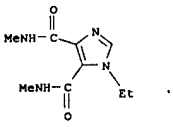
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

11205956

L3 ANSWER 14 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:661872 CAPLUS
DOCUMENT NUMBER: 135:175386
TITLE: Ethymizoe application as anti-arrhythmia preparation for preventing ventricular extrasystole in myocardial ischemia patients
INVENTOR(S): Shabrov, A. V.; D'yachuk, G. I.; Vinogradova, T. V.; Pochobut, L. V.; Andreeva, E. N.
PATENT ASSIGNEE(S): Sankt-Peterburgskaya Gosudarstvennaya Meditsinskaya Akademiya, Russia
SOURCE: Russ., No pp. given
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

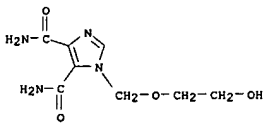
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2148999	C1	20000520	RU 1997-108900	19970528
PRIORITY APPLN. INFO.:			RU 1997-108900	19970528

AB The proposed method involves administration of ethymizol for improving chronotropic values without inhibiting atrioventricular and intraventricular conductivity Ethymizol application promotes the propulsive capacity of the myocardium unlike other analog prepns. Comparative data on the effects of several other antiarrhythmic agents are also given.
IT 64-99-3
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethymizol application as antiarrhythmic preparation for preventing ventricular extrasystole in myocardial ischemia patients)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)



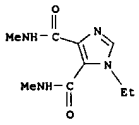
L3 ANSWER 15 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:657259 CAPLUS
DOCUMENT NUMBER: 135:344666
TITLE: Acyclic nucleoside/nucleotide analogues with an imidazole ring skeleton
AUTHOR(S): Chen, Huan-Ming; Hosmane, Ramachandra S.
CORPORATE SOURCE: Laboratory for Drug Design and Synthesis, Department of Chemistry & Biochemistry, University of Maryland, Baltimore, MD, 21250, USA
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001), 20(8), 1599-1614
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Syntheses of a few acyclic nucleoside and acyclic nucleoside phosphonate analogs containing an imidazole ring have been reported. These analogs include Me 1-(2-hydroxyethoxymethyl)imidazole-4,5-dicarboxylate, 4,5-dicarbamoyl-1-(2-hydroxyethoxymethyl)imidazole, 4,5-dicyano-1-(2-hydroxyethoxymethyl)imidazole, Me 1-(2-bromoethoxymethyl)imidazole-4,5-dicarboxylate, 4,5-dicyano-(2-bromoethoxymethyl)imidazole, and Me 1-(2-phosphonomethoxyethyl)imidazole. Also reported are a few potential prodrugs of the above compds., including two acetyl derivs. and a di-Et phosphonate ester. In addition, the corresponding benzyl-protected precursors of 1-(2-hydroxyethoxymethyl)imidazole-4,5-dicarboxylate and 4,5-dicyano-1-(2-hydroxyethoxymethyl)imidazole along with their common hydrolysis product, 1-(2-benzyloxy-ethoxymethyl)-4,5-imidazoledicarboxylic acid, are reported. Another potential prodrug included in the list is 1-(2-acetoxyethyl)-4,5-dicyanoimidazole. The compds. were screened for in vitro antiviral activity against a wide variety of herpes and respiratory viruses. The most active compound was Me 1-(2-diethoxyphosphonylmethoxyethyl)-4,5- imidazoledicarboxylate which exhibited an anti-measles virus activity with an EC50 of <2.5 μg/mL and an SI value of >176.
IT 371973-27-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of acyclic nucleoside/nucleotide analogs with an imidazole ring skeleton)
RN 371973-27-2 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[(2-hydroxyethoxy)methyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 15 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:498766 CAPLUS
DOCUMENT NUMBER: 135:339147
TITLE: Dependence of the antioxidant effect of imidazole derivatives on the concentration and the scheme of administration
AUTHOR(S): Pavlova, R. N.; Kuznetsova, O. A.; Dadali, V. A.; Abyshev, A. Z.; Sokolova, E. A.
CORPORATE SOURCE: Dep. Biochemistry, Mechnikov State Medical Acad., St. Petersburg, 195067, Russia
SOURCE: Eksperimental'naya i Klinicheskaya Farmakologiya (2001), 64(3), 50-52
CODEN: EKFAE9; ISSN: 0869-2092
PUBLISHER: Izdatel'stvo Folium
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The exptl. study of the antioxidant properties of imidazole derivs. showed evidence of a nonlinear dose-effect relationship as manifested by chemiluminescence in liposomes. In the in vivo expts., using a thiophenol intoxication model, the antioxidant effect observed for a "large dose - short time" scheme was more favorable than that for a "small dose - long time" administration schedule.
IT 64-99-3, Etimizole
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antioxidant effect of imidazole derivs. dependence on concentration and administration mode)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)



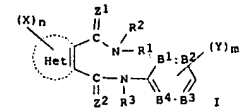
Karen Cheng

L3 ANSWER 17 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:12413 CAPLUS
DOCUMENT NUMBER: 134:71497
TITLE: Preparation of heterocyclic dicarboxylic acid diamide derivatives as agricultural and horticultural insecticides
INVENTOR(S): Katsuhira, Takeshi; Furuya, Takashi; Gotoh, Makoto; Tohnishi, Masanori; Takaishi, Hideo; Sakata, Kazuyuki; Morimoto, Masayuki; Seo, Akira
PATENT ASSIGNEE(S): Nihon Nohyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000575	A1	20010104	WO 2000-JP4136	20000623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000011818	A	20020319	BR 2000-11818	20000623
EP 1188745	A1	20020320	EP 2000-940823	20000623
EP 1188745	B1	20061220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
HU 2002001555	A2	20020828	HU 2002-1555	20000623
AU 761273	B2	20030529	AU 2000-55689	20000623
JP 2001064258	A	20010313	JP 2000-191500	20000626
ZA 2001010006	A	20030205	ZA 2001-10006	20011205
US 6747041	B1	20040608	US 2002-18463	20020410
PRIORITY APPLN. INFO.:			JP 1999-179035 A	19990624
			WO 2000-JP4136 W	20000623

OTHER SOURCE(S): MARPAT 134:71497
GI

L3 ANSWER 17 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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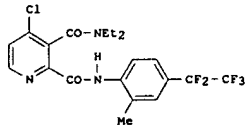
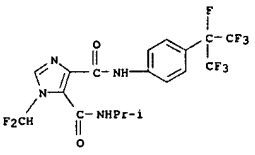


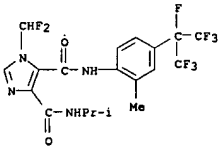
II

AB The title compds. I [R1, R2 and R3 represent each H, optionally halogenated C3-6 cycloalkyl, etc.; Het represents a 5- or 6-membered heterocycle; X and Y represent each halocyano, nitro, optionally halogenated C3-6 cycloalkyl, optionally substituted Ph, an optionally substituted heterocycle, etc; n is from 0 to 3; m is from 1 to 5; Z1 and Z2 represent each O or S; and B1 to B4 represent each C or N] are prepared
I have an excellent controlling effect on pest insects such as diamond-back moth (Plutella xylostella) and tobacco cutworm (Spodoptera litura). The title compound II at 500 ppm gave ≥ 90% control of Plutella xylostella.
IT 314763-15-0P 314763-16-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic dicarboxylic acid diamide derivs. as agricultural and horticultural insecticides)
RN 314763-15-0 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-(difluoromethyl)-N5-(1-methylethyl)-N4-[4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 17 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 314763-16-1 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-(difluoromethyl)-N4-(1-methylethyl)-N5-[2-methyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

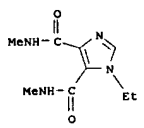


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:738946 CAPLUS
DOCUMENT NUMBER: 133:261949
TITLE: Accelerating labor with estrogens, amitriptyline, potassium orotate, and ethymisole
INVENTOR(S): Raskuratov, Yu. V.
PATENT ASSIGNEE(S): Tverskoi Gosudarstvennyi Meditsinskii Institut, Russia
SOURCE: Russ. From: Izobreteniya 1998, (11), 161.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2108756	C1	19980420	RU 1994-30603	19940817
PRIORITY APPLN. INFO.:			RU 1994-30603	19940817

AB Title only translated.
IT 64-99-3, Ethymisole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(accelerating labor with estrogens, amitriptyline, potassium orotate, and ethymisole)
RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)

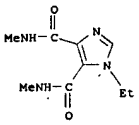


L3 ANSWER 19 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:558594 CAPLUS
DOCUMENT NUMBER: 132:88130
TITLE: Antifein derivatives protect embryos from chloridine-induced teratogenesis
AUTHOR(S): Bichevaya, N. K.; Chebotar', N. A.; Aleksandrova, I. Ya.; Stepanov, I. I.; Klement'ev, B. I.; Sapronov, N. S.
CORPORATE SOURCE: Institute of Experimental Medicine, Russian Academy of Medical Sciences, St. Petersburg, 197376, Russia
SOURCE: Russian Journal of Developmental Biology (Translation of Ontogenez) (1999), 30(4), 259-263
CODEN: RJDBE2; ISSN: 1062-3604
PUBLISHER: MAIK Nauka/Interperiodica Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We studied the effect of propyl- and ethylnorantifein on chloridine-induced abnormalities of extremities in rat embryos. Chloridine (50 and 25 mg/kg, given through the gastric tube) was administered to rats on day 14 of pregnancy, and its embryotoxic effect was estimated from the state of fetuses and implantation sites on day 20 of prenatal development. Propylnorantifein had fetoprotective properties both after i.p. (10 mg/kg) and after intraamniotic (6 and 0.06 μg) administration. Ethylnorantifein under similar conditions does not change the action of chloridine, and it prevents the appearance of developmental abnormalities only at the concentration of 0.06 μg/embryo. These data are discussed in connection with different effects of antifein derivs. on chromatin protein kinase, which phosphorylates HMG nonhistone proteins.

IT 64-99-3, EthylnorAntifein 880-90-0D, Antifein, derivs. 3304-78-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antifein derivs. protect embryos from chloridine-induced teratogenesis)

RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)

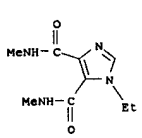


L3 ANSWER 20 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:503520 CAPLUS
DOCUMENT NUMBER: 131:307360
TITLE: Neurochemical mechanisms of depotentiation of synaptic transmission
AUTHOR(S): Abramets, I. I.; Samoilovich, I. M.; Kuznetsov, Yu. V.
CORPORATE SOURCE: Donetsk. Gos. Med. Univ., MZ Ukr., Donetsk, Ukraine
SOURCE: Neirofiziologiya (1998), 30(2), 113-120
CODEN: NEFZB2; ISSN: 0028-2561
PUBLISHER: Institut Fiziologii im. A. A. Bogomol'tsa NAN Ukrainy
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB In expts. on slices of hippocampus it was ascertained the prolonged low-frequency (1/s, 15 min) stimulation of Schaeffer collaterals at 45-60 min after their high-frequency stimulation (60/s, 0.5 s) caused a 66% decrease in the amplitude of EPSP of pyramidal neurons of the CA1 region to the level preceding the high frequency stimulation. Depotentiation was practically completely prevented by blockade of NMDA receptors with ketamine, was weakened by blockade of the L-type calcium channel L-type with nifedipine, and was maintained during blockade of AMPA receptors with CNQX. Depotentiation also decreased under the effect of the calmodulin inhibitor trifluoroperazine or on increasing intracellular concns. of cAMP caused by activation of A2 adenosine and D5 dopamine receptors. However, it was resistant to the effects of the PKC inhibitor polymyxin B. The nootropics with antiamnesic activity, piracetam, ethimizol, and carbacetam, intensified depotentiation of synaptic transmission.

IT 64-99-3, Ethimizol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(neurochem. mechanisms of depotentiation of synaptic transmission)

RN 64-99-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-ethyl-N,N'-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 291 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 880-90-0 CAPLUS
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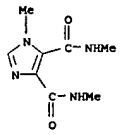

RN 3304-78-7 CAPLUS
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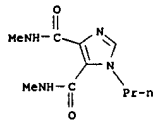
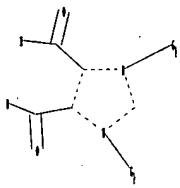
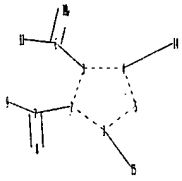


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10519645

+1,3- dialkyl
+salts
+ imidazol or salts





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6 7 8 9 10 11 14 15
ring nodes :
1 2 3 4 5
chain bonds :
1-15 2-7 3-6 4-14 6-10 6-11 7-8 7-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-15 2-3 3-4 4-5 4-14 6-10 6-11 7-8 7-9
exact bonds :
2-7 3-6
isolated ring systems :
containing 1 :

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 14:CLASS 15:CLASS

L4 STRUCTURE UPLOADED

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L4 HAS NO ANSWERS
L4 STR

Karen Cheng

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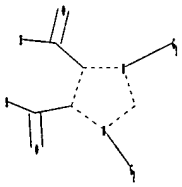
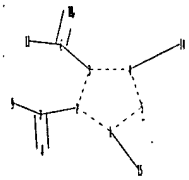




chain nodes :
6 7 8 9 10 11 14 15
ring nodes :
1 2 3 4 5
chain bonds :
1-15 2-7 3-6 4-14 6-10 6-11 7-8 7-9
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-15 2-3 3-4 4-5 4-14 6-10 6-11 7-8 7-9
exact bonds :
2-7 3-6
isolated ring systems :
containing 1 :

G1:H,Ak

G2:Ak,C

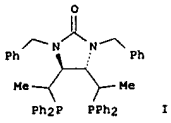
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 14:CLASS 15:CLASS

L7 STRUCTURE UPLOADED

=> d
L7 HAS NO ANSWERS
L7 STR

Karen Cheng

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:261484 CAPLUS
DOCUMENT NUMBER: 144:88209
TITLE: Synthesis of diastereomeric 1,4-diphosphine ligands bearing imidazolidin-2-one backbone and their application in Rh(I)-catalyzed asymmetric hydrogenation of functionalized olefins
AUTHOR(S): Zhang, Yong Jian; Kim, Kee Yong; Park, Jung Hwan; Song, Choong Eui; Lee, Kyungae; Lah, Myoung Soo; Lee, Sang-gi
CORPORATE SOURCE: Life Sciences Division, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SOURCE: Advanced Synthesis & Catalysis (2005), 347(4), 563-570
CODEN: ASCAF7; ISSN: 1615-4150
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:88209
GI

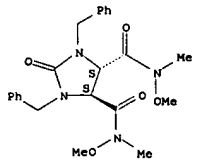


I

AB The diastereomeric 1,4-diphosphine ligands, (S,S,S,S)-I, (R,S,S,R)-I and (R,S,S,S)-I, with the imidazolidin-2-one backbone were synthesized, and utilized for an investigation of the effects of backbone chirality on the enantioselectivity in the Rh(I)-catalyzed hydrogenation of various functionalized olefinic substrates. It was found that the catalytic efficiencies are largely dependent on the configurations of the α-carbons to phosphine. Thus, the Rh complex of the pseudo-C2-sym. diphosphine, (R,S,S,S)-I, showed excellent enantioselectivities (93.0-98.6% ee) in the hydrogenations of a broad spectrum of substrates, and especially in the hydrogenations of Me α-(N-acetyamino)-β-arylacrylates (95.3-97.0% ee). However, the enantioselectivities obtained with the C2-sym. (R,S,S,R)-I were largely dependent on the substrate (19.8-97.3% ee). The Rh complex of (S,S,S,S)-I ligand showed the lowest catalytic efficiency for all of the substrates examined (0-84.8% ee).
IT 872175-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diastereomeric diphosphine ligands bearing imidazolidinone backbone as chiral ligands for Rh(I)-catalyzed asym. hydrogenation of functionalized olefins)

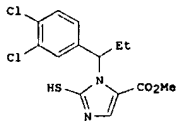
L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 872175-11-6 CAPLUS
CN 4,5-Imidazolidinedicarboxamide, N,N'-dimethoxy-N,N'-dimethyl-2-oxo-1,3-bis(phenylmethyl)-, (4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

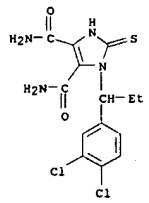
L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:74646 CAPLUS
DOCUMENT NUMBER: 142:280123
TITLE: 2-Mercaptoimidazoles, a new class of potent CCR2 antagonists
AUTHOR(S): Van Lommen, Guy; Doyon, Julien; Coesemans, Erwin; Boeckx, Staf; Cools, Marina; Buntinx, Mieke; Hermans, Bart; Van Wauwe, Jean
CORPORATE SOURCE: Inflammation Research, Johnson and Johnson Pharmaceutical Research and Development, Beerse, B-2340, Belg.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(3), 497-500
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:280123
GI



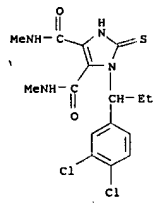
I

AB The synthesis and SAR of a class of CCR2 antagonists based on a 2-mercaptoimidazole scaffold, e.g., I. The initial lead compound was optimized to the corresponding optical active 3,4-disubstituted analogs, which have IC50 values in the MCP-1 induced Ca-flux below 0.01 μM.
IT 742108-40-3P 847448-25-3P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, CCR2 antagonistic activity, and structure-activity relationship of mercaptoimidazoles using heterocyclization as the key step)
RN 742108-40-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)

L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 847448-25-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-N,N'-dimethyl-2-thioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:675729 CAPLUS
DOCUMENT NUMBER: 141:207206
TITLE: Preparation of mercaptoimidazoles as CCR2 receptor antagonists for the treatment of inflammatory disease
INVENTOR(S): Van Lommen, Guy Rosalia Eugeen; Doyon, Julien Georges Pierre-Olivier; Van Wauwe, Jean Pierre Frans; Cools, Marina Lucie Louise; Coesemans, Erwin
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069809	A1	20040819	WO 2003-EP1038	20030203
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AU 2004210071	A1	20040819	AU 2004-210071	20040130
CA 2513109	A1	20040819	CA 2004-2513109	20040130
WO 2004069810	A1	20040819	WO 2004-EP957	20040130
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EP 1592670	A1	20051109	EP 2004-706674	20040130
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CN 1745069	A	20060308	CN 2004-80003283	20040130
JP 2006516589	T	20060706	JP 2006-501712	20040130
US 2006058289	A1	20060316	US 2005-544569	20050803

PRIORITY APPLN. INFO.:
WO 2003-EP1038 A 20030203
WO 2003-EP301038 A 20030203
WO 2004-EP957 A 20040130

OTHER SOURCE(S): MARPAT 141:207206
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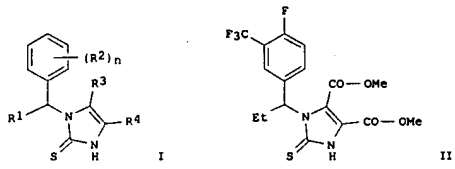


L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

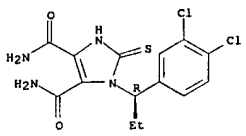
AB The invention relates to mercaptoimidazoles of formula I, N-oxides, pharmaceutically acceptable addition salts, quaternary amines and stereochem. isomeric forms thereof, wherein R1 is H, (cyclo)alkyl, (hetero)aryl; R2 is halo, alkyl(oxy/thio), polyhaloalkyl(oxy), cyano, aminocarbonyl, (di)(alkyl)amino, nitro, aryl(oxy); R3 and R4 are H, cyano, (hydroxy)alkyl, C(O)OR5, C(O)NR6aR6b, S(O)2NR6aR6b, C(O)R7; R5 is a defined carbon or N-heterocyclic ester group; R6a, R6b is H, alkyl, (di)(alkyl)amino(alkyl), arylamino; or NR6aR6b is a N-heterocycle; R7 is H, alk(en/yn)yl, aryl, certain substituted alkyls; n is 1-5, etc., with some limitations. The compds. have been synthesized as CCR2 receptor antagonists and found useful for the treatment and prevention of diseases, such as inflammation, which are mediated through activation of the CCR2 receptor, particularly CCR2B receptor. The invention also relates to processes for preparing the compds. and pharmaceutical compns. comprising them. Thus, compound II was prepared from 1-[4-fluoro-3-(trifluoromethyl)phenyl]-1-propanone via oxime formation, reduction, N-alkylation with Me bromoacetate, formylation and finally cyclocondensation with (CO2Me)2 and KSCN. The synthesized compds. showed inhibition of MCP-1 induced Ca-flux in human THP-1 cells with pIC50 5.6-8.2 (pIC50 = -log IC50).

IT 742108-15-2P 742108-27-6P 742108-28-7P
742108-40-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(receptor antagonist; preparation of mercaptoimidazoles as CCR2 receptor antagonists for the treatment of inflammatory disease)

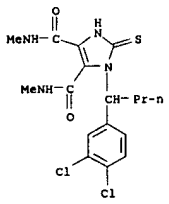
RN 742108-15-2 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[(1R)-1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

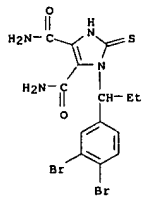
L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 742108-27-6 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)butyl]-2,3-dihydro-N,N'-dimethyl-2-thioxo- (9CI) (CA INDEX NAME)

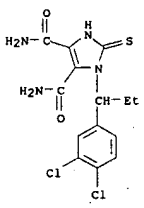


RN 742108-28-7 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dibromophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



RN 742108-40-3 CAPLUS
CN 1H-Imidazole-4,5-dicarboxamide, 1-[1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



Karen Cheng